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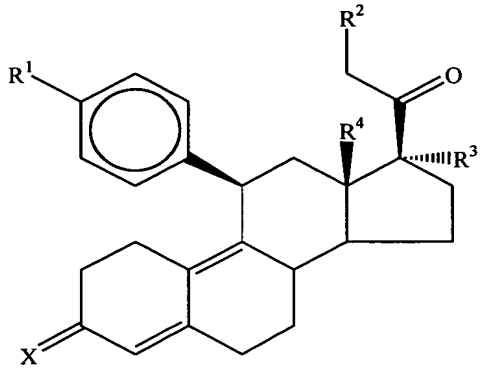
Structural Modification of 19-Norprogesterone I: 17-α-Substituted, 11-β-Substituted-4-Aryl and 21-Substituted 19-Norpregnadienedione As New Antiprogestational Agents

ABSTRACT OF THE DISCLOSURE

The present invention relates, *inter alia*, to compounds having the general formula:



I

in which: R^1 is a member selected from the group consisting of $-OCH_3$, $-SCH_3$, $-N(CH_3)_2$, $-NHCH_3$, $-NC_4H_8$, $-NC_5H_{10}$, $-NC_4H_8O$, -CHO, $-CH(OH)CH_3$, $-C(O)CH_3$, $-O(CH_2)_2N(CH_3)_2$, and $-O(CH_2)_2NC_5H_{10}$; R^2 is a member selected from the group consisting of hydrogen, halogen, alkyl, acyl, hydroxy, alkoxy (*e.g.*, methoxy, ethoxy, vinyloxy, ethynyloxy, cyclopropyloxy, *etc.*), acyloxy (*e.g.*, acetoxy, glycinate, *etc.*), alkylcarbonate, cypionyloxy, S-alkyl, -SCN, S-acyl and $-OC(O)R^6$, wherein R^6 is a functional group including, but not limited to, alkyl (*e.g.*, methyl, ethyl, *etc.*), alkoxy ester (*e.g.*, $-CH_2OCH_3$) and alkoxy ($-OCH_3$); R^3 is a member selected from the group consisting of alkyl, hydroxy, alkoxy and acyloxy; R^4 is a member selected from the group consisting of hydrogen and alkyl; and X is a member selected from the group consisting of =O and $=N-OR^5$, wherein R^5 is a member selected from the group consisting of hydrogen and alkyl.

In addition to providing the compounds of Formula I, the present invention provides methods wherein the compounds of Formula I are advantageously used, *inter alia*, to antagonize endogenous progesterone; to induce menses; to treat endometriosis; to treat dysmenorrhea; to treat endocrine hormone-dependent tumors; to treat meningiomas; to treat uterine leiomyomas; to treat uterine fibroids; to inhibit uterine endometrial proliferation; to induce cervical ripening; to induce labor; and for contraception.